

N-Aryl-cycloalkylidenyl- α -hydroxy- and α -alkoxy acetic acid amides of the general formula I

- 34 -

including the optical isomers thereof and mixtures of such isomers, wherein R_1 is hydrogen, C_1 - C_{12} alkyl; C_2 - C_{12} alkenyl; C_2 - C_{12} alkynyl; C_1 - C_{12} haloalkyl; R_2 is hydrogen; C_1 - C_4 alkyl; C_1 - C_4 haloalkyl; C_2 - C_5 alkenyl or C_2 - C_5 alkynyl;

 R_3 is aryl or heteroaryl, each optionally substituted with substituents selected from the group comprising C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_8 cycloalkyl, C_3 - C_8 cycloalkyl- C_1 - C_4 alkyl, phenyl and phenyl C_1 - C_4 alkyl, where all these groups may be substituted with one or more

halogen atoms; C_1 - C_8 alkoxy, C_3 - C_8 alkenyloxy; C_3 - C_8 alkynyloxy; C_1 - C_8 alkoxy- C_1 - C_4 alkyl;

 C_1 - C_8 haloalkyl, C_1 - C_8 alkylthio; C_1 - C_8 haloalkylthio, C_1 - C_8 alkylsulfonyl; formyl; C_1 - C_8 alkanoyl; hydroxy; cyano; nitro; amino; C₁₋C₈alkylamino; C₁₋C₈dialkylamino; carboxyl; C₁₋C₈alkoxycarbonyl; C3-C8alkenyloxycarbonyl and C3-C8alkynyloxycarbonyl; or

A is a 1,2-cyclohexylidene or 1,2-cyclopropylidene bridge,

 R_4 is hydrogen C_1 - C_8 alkyl; C_2 - C_8 alkenyl; C_2 - C_8 alkynyl; C_3 - C_8 cycloalkyl- $C_1\text{-}C_4\text{alkyl};\ C_1\text{-}C_8\text{alkylthio};\ C_1\text{-}C_8\text{alkylsulfonyl};\ C_1\text{-}C_8\text{alkoxy};\ C_3\text{-}C_8\text{alkenyloxy};\ C_3\text{-}C_8\text{alkynyloxy};$ $C_{3}\text{-}C_{8}\text{cycloalkoxy};\ C_{1}\text{-}C_{8}\text{alkoxy-}C_{1}\text{-}C_{4}\text{alkyl};\ C_{1}\text{-}C_{8}\text{alkoxycarbonyl};\ C_{3}\text{-}C_{8}\text{alkenyloxycarbonyl};$ C_3 - C_8 alkynyloxycarbonyl; C_1 - C_8 alkanoyl; C_1 - C_8 dialkylamino or C_1 - C_8 alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated; or is carboxyl; formyl; halogen; nitro; cyano; hydroxy or amino; and

R₅ is hydrogen; C₁-C₈alkyl; C₂-C₈alkenyl; C₂-C₈alkynyl; C₃-C₈cycloalkyl; C₃-C₈cycloal $kyl-C_1-C_4alkyl;\ C_1-C_8alkylthio;\ C_1-C_8alkylsulfonyl;\ C_1-C_8alkoxy;\ C_3-C_8alkenyloxy;\ C_3-C_8alkyl-C_8alkylsulfonyl;\ C_1-C_8alkylsulfonyl;\ C_1-C_8alkylsulfonyli\ C_1-C_$ nyloxy; C₃-C₈cycloalkoxy; C₁-C₈alkoxy-C₁-C₄alkyl; C₁-C₈alkoxycarbonyl; C₃-C₈alkenyloxycarbonyl; C_3 - C_8 alkynyloxycarbonyl; C_1 - C_8 alkanoyl; C_1 - C_8 dialkylamino or C_1 - C_8 alkylamino, wherein in turn the alkyl, alkenyl, alkynyl or cycloalkyl moieties may be partially or fully halogenated; or is carboxyl; formyl; halogen; nitro; cyano; hydroxy or amino; and R₆ is propargyl.



- 2. A compound according to claim 1 wherein R₂ is hydrogen
- 3. A compound according to claims 1 or 2 wherein R_4 is hydrogen; C_1 - C_8 alkyl; C_1 - C_8 haloalkyl; C_2 - C_8 alkenyl; C_2 - C_8 alkynyl; C_1 - C_8 alkylthio; C_1 - C_8 haloalkylthio; C_1 - C_8 alkoxy; C_1 - C_8 alkoxy- C_1 - C_9 -
 - 4. A compound according to any of claims 1 to 3 wherein R_1 is hydrogen, C_1 - C_4 alkyl, or C_2 - C_5 alkynyl; and R_2 is hydrogen and R_3 is phenyl or phenyl substituted with 1 to 3 substituents selected from C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_3 - C_8 cycloalkyl, C_1 - C_8 alkoxy, C_1 - C_8 alkylthio, halogen, nitro or C_1 - C_8 alkoxycarbonyl, C_1 - C_8 haloalkyl, C_1 - C_8 haloalkyl, C_1 - C_8 haloalkylthio, halogen, nitro or cyano; and A is 1,2-cyclohexylidene or 1,2-cyclopropylidene, and R_4 is hydrogen; C_1 - C_4 alkyl; C_1 - C_4 alkoxy; C_1 - C_4 haloalkoxy or halogen; and R_5 is hydrogen; C_1 - C_4 alkyl; halogen or cyano; and R_6 is propargyl.
 - 5. A compound according to any of claims 1 to 4 wherein R_1 is hydrogen or C_2 - C_5 alkynyl; and R_2 is hydrogen and R_3 is phenyl; C_{1-4} alkylphenyl or halophenyl; and A is 1,2-cyclohexylidene or 1,2-cyclopropylidene; and R_4 is hydrogen; methoxy or ethoxy; and R_5 is hydrogen; and R_6 is propargyl.
 - 6. A compound according to any one of claims 1 to 5 wherein R_1 is hydrogen or propargyl; and R_2 is hydrogen; and R_3 is phenyl optionally substituted by one to two substituents selected from the group comprising methyl, ethyl, methoxy, fluoro, chloro, bromo, phenyl, trifluoromethyl, trifluoromethylthio or trifluoromethoxy; and A is 1,2-cyclohexylidene; and R_4 is hydrogen or methoxy; and R_5 is hydrogen; and R_6 is propargyl.

Best Available Copy

AMENDED SHEET

- 7. A compound according to any one of claims 1 to 6 wheerein R_1 is hydrogen or propargyl; and R_2 is hydrogen; and R_3 is phenyl optionally substituted by one to two substituents selected from the group comprising methyl, ethyl, methoxy, fluoro, chloro, bromo, phenyl, trifluoromethyl, trifluoromethylthio or trifluoromethoxy; and A is 1,2-cyclohexylidene; and R_4 is hydrogen or methoxy; and R_5 is propargyl.
- 8. A compound according to any one of claims 1 to 7 wherein R_1 is propargyl; and R_2 is hydrogen; and R_3 is phenyl optionally substituted by one to two substituents selected from the group comprising fluoro, chloro and bromo, or is phenyl optionally substituted by one substituent selected from the group comprising methyl, ethyl, methoxy, phenyl, trifluoromethyl, trifluoromethyl, and A is 1,2-cyclohexylidene; and R_4 is hydrogen or methoxy; and R_5 is hydrogen; and R_6 is propargyl.
 - 9. A compound according to claim 1 selected from the group comprising
 - 2-hydroxy-N-[trans-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-2-phenyl-acetamide, 2-(4-chlorophenyl)-2-hydroxy-N-[trans-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-acetamide,
 - 2-(4-bromophenyl)-2-hydroxy-N-[trans-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-acetamide.
 - 2-(3,4-dichlorophenyl)-2-hydroxy-N-[trans-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-acetamide,
 - N-[trans-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-2-phenyl-2-prop-2-ynyloxy-acetamide.
 - 2-(4-chlorophenyl)-N-[trans-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-2-prop-2-ynyloxy-acetamide,
 - 2-(4-bromophenyl)-N-[trans-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-2-prop-2-ynyloxy-acetamide, and
 - 2-(3,4-dichlorophenyl)-N-[trans-2-(3-methoxy-4-prop-2-ynyloxy-phenyl)-cyclohexyl]-2-prop-2-ynyloxy-acetamide.
 - 10. A process for the preparation of a compound of formula I according to claim 1, which comprises reacting an α -hydroxy- or α -alkoxy acid of formula II

PCT/EP03/08057



- 38 -

$$R_1 - O \xrightarrow{R_2} COOH$$
 (II)

wherein R_1 , R_2 and R_3 are as defined for formula I, or a carboxyl-activated derivative of the acid of formula II, is reacted with an amine of formula III wherein A, R_4 , R_5 and R_6 , are as defined for formula I, with an amine of formula III

wherein A, R_4 , R_5 and R_6 , are as defined for formula I.

11. A process for the preparation of a compound of formula I wherein R_1 is as defined in claim 1 with the exception of hydrogen, which process comprises reacting an α -hydroxy acid derivative of formula la

$$HO \xrightarrow{R_2} N \xrightarrow{N} C \xrightarrow{R_4} O - R_6$$
 (Ia)

wherein A, R_2 , R_3 , R_4 , R_5 and R_6 are as defined for formula I, with an alkyl-, alkenyl- or alkynylhalide of formula IV

wherein R_1 is as defined for formula I, with the exception of hydrogen, and where X is a leaving group like a halide such as a chloride or bromide, or a sulfonic ester such as a tosylate, mesylate or triflate.

12. A process for the preparation of a compound of formula I wherein R_6 is as defined in claim 1 with the exception of hydrogen, which process comprises reacting a phenol of formula Ib







PCT/EP03/08057

- 39 -

where A, R₁, R₂, R₃, R₄, and R₅ are as defined for formula I, with a compound of formula V $Y-R_6$ (V)

where R_6 is as defined for formula I but is not hydrogen and where Y is a leaving group like a halide such as a chloride or bromide or a sulfonic ester such as a tosylate, mesylate or triflate.

- 13. A composition for controlling and protecting against phytopathogenic microorganisms, comprising a compound of formula I according to claim 1 as active ingredient together with a suitable carrier.
- 14. The use of a compound of formula I according to claim 1 in protecting plants against infestation by phytopathogenic microorganisms.
- 15. A method of controlling and preventing an infestation of crop plants by phytopathogenic microorganisms, preferably fungal organisms, which comprises the application of a compound of formula I according to claim 1 as active ingredient to the plant, to parts of plants or to the locus thereof.